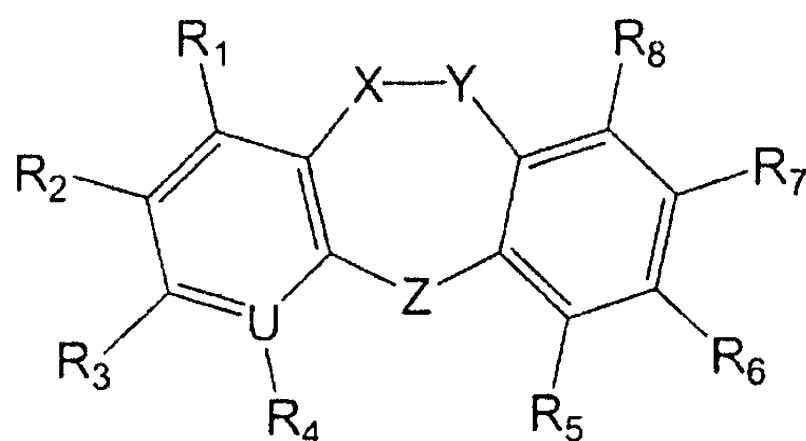


Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Previously Presented) A compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y are independently selected from the group consisting of:

CW₁W₂ wherein W₁ and W₂ are independently selected from the group consisting of one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group,

C=O, and

C=NOW₃ wherein W₃ is a hydrogen atom or a lower alkyl group;

when the X-Y bond is a double bond, X and Y are each independently CW₄ wherein W₄ is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group;

Z is O;

U is C;

R₁ to R₄ are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V₁W₅, a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle wherein

V₁ is any one of O, S, S=O or SO₂.

W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

R₅ to R₈ are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V₂W₇, a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

V₂ is one of O, S, S=O or SO₂,

W₇ is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group,

wherein:

W_0 is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group,

when X is CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group, provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $CH(C_2H_5)CO$ and R_6 is a hydroxyl group and

when X is other than CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR wherein

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

when X-Y is CH_2CH_2 , $CHBrCH_2$, CH_2CO , $CHBrCO$, $CH=CH$, $CH=COCOCH_3$ or $CH=COCH_3$,

at least one of R_1 to R_4 is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle provided that when both R_6 and R_7 are hydroxyl groups, any one of R_1 to R_4 is not a phenyl group; or

at least one of R_1 to R_4 is SW_8 or $S(O)W_9$ wherein W_8 and W_9 independently are a lower alkyl group or a substituted lower alkyl group provided that R_7 is not a hydrogen atom when Z is O; or

R_2 is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R_8 is a hydroxyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O; or

at least one of R_1 to R_4 is a lower alkylcarbonyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more, a

cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time,

R₈ is a hydroxyl group; or

at least one of R₁ to R₄ is a cyano group; or

at least one of R₁ to R₄ is -C(=NOR)CH₃ wherein R is a hydrogen atom or a lower alkyl group, an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof.

2. (Original) The compound according to claim 1, wherein R₆ is a hydroxyl group.
3. (Original) The compound according to claim 1, wherein R₆ and R₇ are hydroxyl groups.
4. (Original) The compound according to claim 1, wherein R₆ and R₈ are hydroxyl groups.
5. (Original) The compound according to claim 1, wherein R₅ and R₆ are hydroxyl groups.
6. (Previously Presented) The compound according to claim 1, wherein the X-Y bond is a single bond and X is CW₁W₂ or the X-Y bond is a double bond and X is CW, wherein
at least one of W₁ and W₂ is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and
W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

7. (Previously Presented) The compound according to claim 1, wherein Y is CO.

8. (Previously Presented) The compound according to claim 6, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

9. (Previously Presented) The compound according to claim 1, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

10. (Previously Presented) The compound according to claim 1, wherein the heterocycle is an aromatic heterocycle.

11. (Previously Presented) The compound according to claim 1, wherein R₂ or R₃ is SW₈ or S(O)W₉, wherein

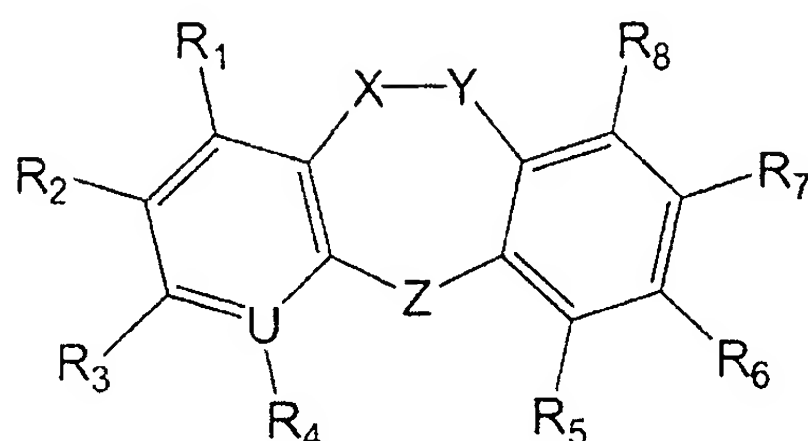
W₈ is a lower alkyl group or a substituted lower alkyl group, and

W₉ is a lower alkyl group or a substituted alkyl group.

12. (Previously Presented) The compound according to claim 11, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

13-16. (Canceled)

17. (Previously Presented) A method of preparing a compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y are independently selected from the group consisting of:

CW₁W₂ wherein W₁ and W₂ are independently selected from the group consisting of one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group,

C=O, and

C=NOW₃ wherein W₃ is a hydrogen atom or a lower alkyl group;

when the X-Y bond is a double bond, X and Y are each independently CW₄ wherein W₄ is any one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group;

Z is O;

U is C;

R₁ to R₄, are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a

substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_1W_5 , a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle wherein

V_1 is any one of O, S, S=O or SO_2 ,

W_5 is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

R_5 to R_8 are independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_2W_7 , a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

V_2 is one of O, S, S=O or SO_2 ,

W_7 is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group,

wherein:

W_0 is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group;

when X is CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group, provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $\text{CH}(\text{C}_2\text{H}_5)\text{CO}$ and R_6 is a hydroxyl group and

when X is other than CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR wherein

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

when X-Y is CH_2CH_2 , CHBrCH_2 , CH_2CO , CHBrCO , $\text{CH}=\text{CH}$, $\text{CH}=\text{COCOCH}_3$ or $\text{CH}=\text{COCH}_3$,

at least one of R_1 to R_4 is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle provided that when both R_6 and R_7 are hydroxyl groups, any one of R_1 to R_4 is not a phenyl group; or

at least one of R_1 to R_4 is SW_8 or $\text{S}(\text{O})\text{W}_9$ wherein W_8 and W_9 independently are a lower alkyl group or a substituted lower alkyl group provided that R_7 is not a hydrogen atom when Z is O; or

R_2 is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R_8 is a hydroxyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O; or

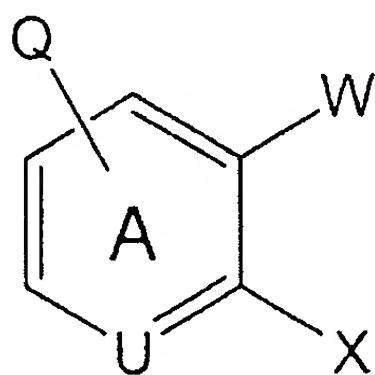
at least one of R_1 to R_4 is a lower alkylcarbonyl group provided that the number of carbon atoms of the lower alkyl group is 3 or more, a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time, R_8 is a hydroxyl group; or

at least one of R_1 to R_4 is a cyano group; or

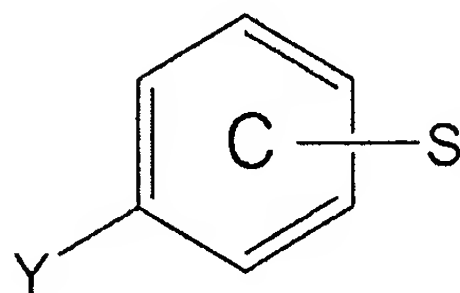
at least one of R_1 to R_4 is $-C(=NOR)CH_3$ wherein R is a hydrogen atom or a lower alkyl group, an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof,

which comprises, in any order, the reaction steps of

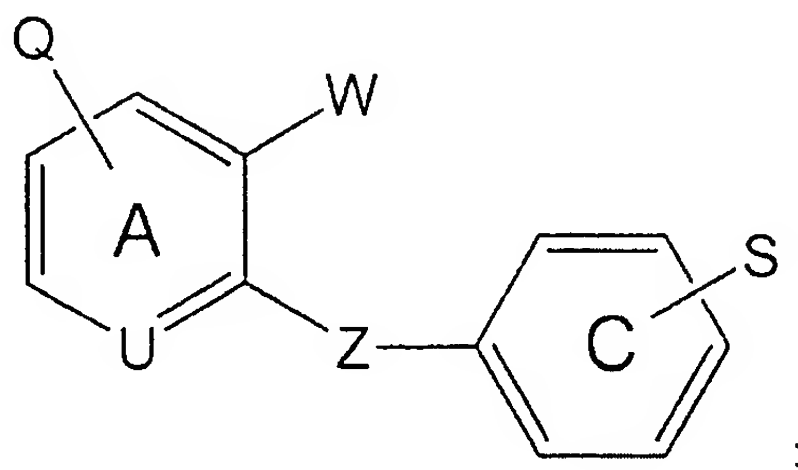
(1) bonding a ring A:



to a ring C:

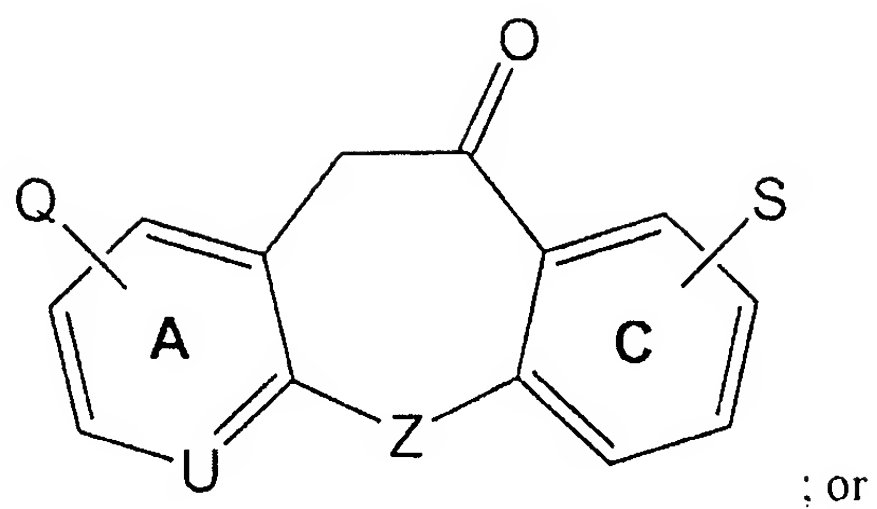


by the Ullman reaction to obtain a compound of the formula 1A:

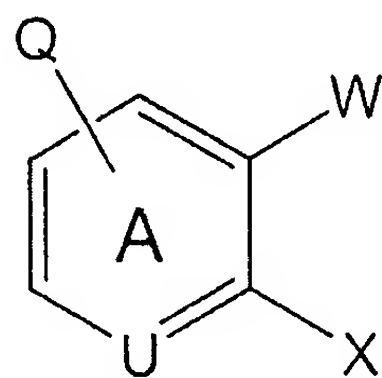


1A

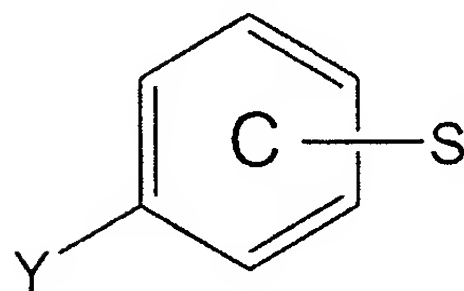
and then subjecting the formula 1A compound to a Friedel-Crafts reaction or a photoreaction to obtain a compound of formula:



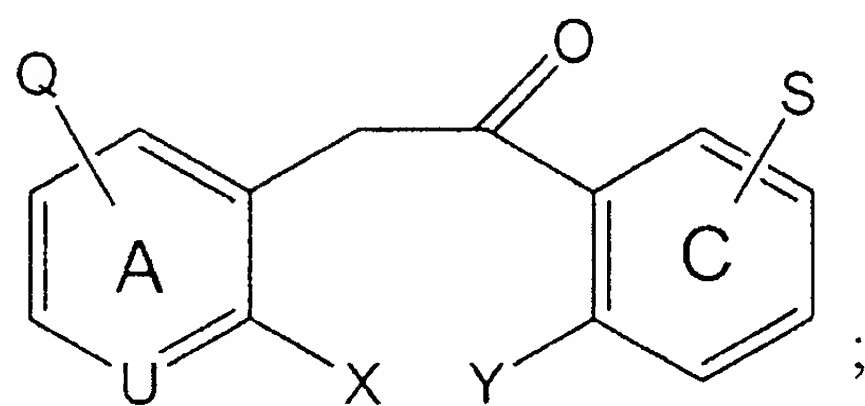
(2) bonding a ring A:



to a ring C:

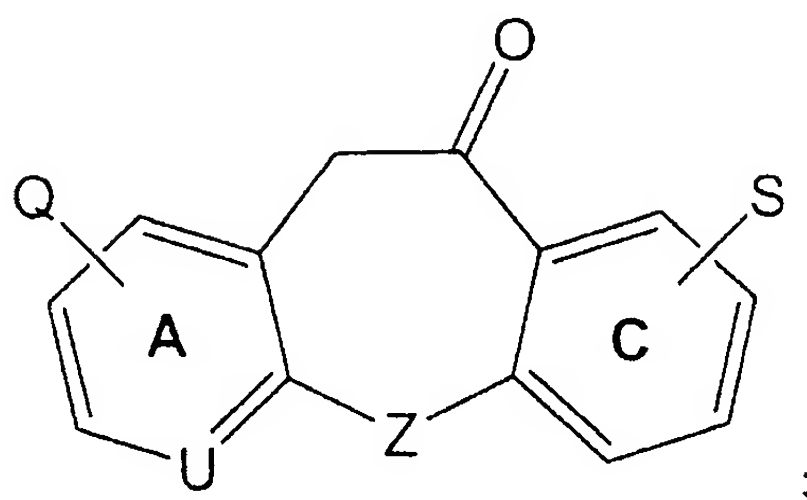


by the Friedel-Crafts reaction or photoreaction to obtain a compound of the formula 1B:



1B

and then subjecting the formula 1B compound to an Ullman reaction to obtain a compound of formula:



wherein:

each Q is independently selected from hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_1W_5 , a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

each S is independently selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V_2W_7 , a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle;

W is $-CH_2CO_2H$;

or W is a substituent that can be converted to $-\text{CH}_2\text{CO}_2\text{H}$ by a conversion reaction, a carbon atom increasing reaction, or by a reaction of deprotection;

U is C;

one of X and Y is a leaving group and the other is a nucleophilic group; and

Z is O.

18. (Previously Presented) The method according to claim 17 further comprising at least one of a carbon atom increasing reaction, a conversion reaction of a substituent, an introduction reaction of a substituent, a removal of the protection of a substituent, forming a salt, and performing optical resolution.

19. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier or diluent.

20 – 33. (Canceled)

34. (Previously Presented) The compound according to claim 2, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

35. (Previously Presented) The compound according to claim 3, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein

at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

36. (Previously Presented) The compound according to claim 4, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW , wherein

at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

37. (Previously Presented) The compound according to claim 5, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW , wherein

at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

38. (Previously Presented) The compound according to claim 2, wherein Y is CO.

39. (Previously Presented) The compound according to claim 3, wherein Y is CO.

40. (Previously Presented) The compound according to claim 4, wherein Y is CO.

41. (Previously Presented) The compound according to claim 5, wherein Y is CO.

42. (Previously Presented) The compound according to claim 6, wherein Y is CO.

43. (Previously Presented) The compound according to claim 1, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

44. (Previously Presented) The compound according to claim 2, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

45. (Previously Presented) The compound according to claim 3, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

46. (Previously Presented) The compound according to claim 4, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

47. (Previously Presented) The compound according to claim 5, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

48. (Previously Presented) The compound according to claim 6, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

49-52. (Cancelled)

53. (Previously Presented) The compound according to claim 2, wherein R_2 or R_3 is SW_8 or $S(O)W_9$, wherein

W_8 is a lower alkyl group or a substituted lower alkyl group, and

W_9 is a lower alkyl group or a substituted alkyl group.

54. (Previously Presented) The compound according to claim 3, wherein R_2 or R_3 is SW_8 or $S(O)W_9$, wherein

W_8 is a lower alkyl group or a substituted lower alkyl group, and

W_9 is a lower alkyl group or a substituted alkyl group.

55. (Currently Amended) The compound according to claim 4, wherein R_2 or R_3 is SW_8 or $S(O)W_9$, wherein

W_8 is a lower alkyl group or a substituted lower alkyl group, and

W_9 is a lower alkyl group or a substituted alkyl ~~group~~ group.

56. (Previously Presented) The compound according to claim 5, wherein R_2 or R_3 is SW_8 or $S(O)W_9$, wherein

W_8 is a lower alkyl group or a substituted lower alkyl group, and

W_9 is a lower alkyl group or a substituted alkyl group.

57. (Previously Presented) The compound according to claim 56, wherein the lower alkyl group is an one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group, or a *tert*-butyl group.

58. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 2 and a pharmaceutically acceptable carrier or diluent.

59. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 3 and a pharmaceutically acceptable carrier or diluent.

60. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 4 and a pharmaceutically acceptable carrier or diluent.

61. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 5 and a pharmaceutically acceptable carrier or diluent.

62. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 6 and a pharmaceutically acceptable carrier or diluent.

63. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 7 and a pharmaceutically acceptable carrier or diluent.

64. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 8 and a pharmaceutically acceptable carrier or diluent.

65. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 9 and a pharmaceutically acceptable carrier or diluent.

66. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 10 and a pharmaceutically acceptable carrier or diluent.

67. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 11 and a pharmaceutically acceptable carrier or diluent.

Applicant : Shuji Jinno et al.
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Filed : December 5, 2003
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68. (Previously Presented) A pharmaceutical composition comprising an effective amount of the compound of claim 12 and a pharmaceutically acceptable carrier or diluent.